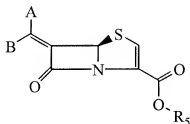


This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (Canceled)
2. (Canceled)
3. (Canceled)
4. (Canceled)
5. (Canceled)
6. (Canceled)
7. (Canceled)
8. (Canceled)
9. (Currently Amended) A process for the preparation of compounds of the formula **I**



I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R₂, heteroaryl optionally substituted with one or two R₂, fused bicyclic heteroaryl optionally substituted with one or two R₂, fused tricyclic heteroaryl optionally substituted with one or two R₂, cycloalkyl optionally substituted with one or two R₂, alkyl optionally substituted with one or two R₂, alkenyl optionally substituted with one or two R₂, alkynyl optionally substituted with one or two R₂, saturated or partially saturated heteroaryl optionally substituted with one or two R₂;

R₅ is H, C₁₋₆alkyl, C₅₋₆cycloalkyl, or CHR₃OCOC₁₋₆alkyl;

R₁ is H, optionally substituted -C₁₋₆alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted

-C₃₋₇ cycloalkyl, optionally substituted -C₃₋₆alkenyl, optionally substituted -C₁₋₆alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C₁₋₆per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -(C=O)C₃₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkyl aryl, optionally substituted C₁₋₆ alkyl heteroaryl, optionally substituted aryl-(C₁₋₆alkyl), optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted (C₁₋₆alkyl)aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino(C₁₋₆alkoxy), alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆ alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted

aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C₁₋₆alkyl, C₅₋₆cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2;

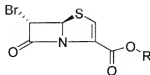
said process comprising:

(a) condensing an appropriately substituted aldehyde **17**



17

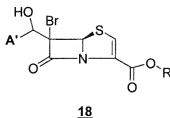
wherein A' is defined as A or B whichever one of A or B is not hydrogen, with 6-bromo-penem derivative of structure **16**



16

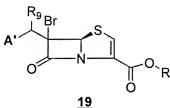
wherein R is p-nitrobenzyl

in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, (R₈)Cl or (R₈)₂O, or with tetrahalomethane, C(X₁)₄, and triphenyl phosphine, to form intermediate compound **19**

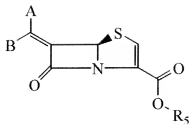


wherein R₈ is alkylSO₂, arylSO₂, alkylCO, or arylCO; X₁ is Br, I, or Cl; A' and R are as defined above; and R₉ is X₁ or OR₈; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process, wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 ~~or~~ and hydrogenating over a catalyst.

10. (Original) The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.

11. (Currently Amended) A process for the preparation of compounds of the formula **I**



I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R₂, heteroaryl optionally substituted with one or two R₂, a fused bicyclic heteroaryl optionally substituted with one or two R₂, or a fused tricyclic heteroaryl optionally substituted with one or two R₂, cycloalkyl optionally substituted with one or two R₂, alkyl optionally substituted with one or two R₂, alkenyl optionally substituted with one or two R₂, alkynyl optionally substituted with one or two R₂, saturated or partially saturated heteroaryl optionally substituted with one or two R₂;

R₅ is H, C₁₋₆alkyl, C₅₋₆cycloalkyl, or CHR₃OCOC₁₋₆alkyl;

R₁ is H, optionally substituted -C₁₋₆alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C₃₋₇ cycloalkyl, optionally substituted -C₃₋₆alkenyl, optionally substituted -C₁₋₆alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C₁₋₆per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -(C=O)C₃₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkyl aryl, optionally substituted C₁₋₆ alkyl heteroaryl, optionally substituted aryl-(C₁₋₆alkyl), optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇,

SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted (C₁₋₆alkyl)aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy ~~carbonyl~~, carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆ alkylamino(C₁₋₆alkoxy), alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆ alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C₁₋₆alkyl, C₅₋₆cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆ alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2;

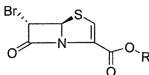
said process comprising:

(b)(a) condensing an aldehyde **17**



17

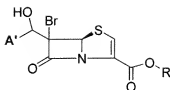
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

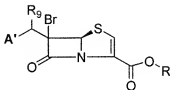
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, (R₈)Cl or (R₈)₂O, or with tetrahalomethane, C(X₁)₄, and triphenyl phosphine, to form intermediate compound **19**



19

wherein R₈ is alkylSO₂, arylSO₂, alkylCO, or arylCO; X₁ is Br, I, or Cl; A' and R are as defined above; and R₉ is X₁ or OR₈; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process, ~~wherein A or B is a fused tricyclic heteroaryl group or a fused bicyclic heteroaryl group.~~

12. (Canceled)

13. (Currently Amended) The process according to claim 11, wherein one of A and B is a the fused bicyclic heteroaryl group has having the structural formula:



16-A

wherein Z1, Z2, and Z3 are independently CR₂, N, O, S or N-R₁ provided one of Z1, Z2, or Z3 is carbon and is bonded to the remainder of the molecule as shown in formula I;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, N-R₁, C=O; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

R₁ is H, optionally substituted -C₁₋₆alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C₃₋₇cycloalkyl, optionally substituted -C₃₋₆alkenyl, optionally substituted -C₃₋₆alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C₁₋₆per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C(=O)aryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -C(=O)C₃₋₆ cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted -C₁₋₆alkyl aryl, optionally substituted -C₁₋₆alkyl heteroaryl, optionally substituted aryl--C₁₋₆alkyl, optionally substituted heteroaryl--C₁₋₆alkyl, optionally substituted -C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl having 1 to 2 double bonds, optionally substituted C₂₋₆alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally

substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy- C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C₁₋₆alkoxy, -S- C₁₋₆alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)_n where n=0 to 2 (where n=0 to 2), and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S=(O)_n where n = 0-2.

14. (Currently Amended) The process according to claim 11, wherein one of A and B is a the fused bicyclic heteroaryl group having has the structural formula;



16-B

wherein

Z₁, Z₂ and Z₃ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ -Z₃ is carbon and is bonded to the remainder of the molecule;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, or N-R₁;

t= 1 to 4;

Y₁ and Y₂ are independently N or C; with the proviso that at least one of Y₁ and Y₂ is C, with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R₁ is H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C₅₋₇ cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C₃₋₆alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C₁₋₆perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=O (C₁₋₆) alkyl, optionally substituted -(C=O)C₅₋₆cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkylaryl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted aryl- C₁₋₆alkyl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted arloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally

substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyl, optionally substituted C₃₋₆alkynyl, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy- C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C₁₋₆alkoxy, -S-C₁₋₆alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)n where n=0 to 2 (where n=0 to 2), and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkylheteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N, O, or S.

15. (Currently Amended) The process according to claim 11, wherein one of A and B is a the fused bicyclic heteroaryl group having the formula: is



16-C

wherein

Z₁, Z₂, Z₃ and Z₄ are independently CR₂ or N provided one of Z₁ – Z₄ is carbon and is bonded to the remainder of the molecule;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, or N-R₁; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

Y₁ and Y₂ are each independently C or N; with the proviso that at least one of Y₁ and Y₂ is C;

R₁ is H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C₃₋₇cycloalkyl, optionally substituted C₃₋₆alkenyl, optionally substituted C₃₋₆alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C₁-perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2,

optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C₁₋₆alkyl, optionally substituted -C=O(C₅₋₆cycloalkyl), optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C₁₋₆alkylaryl, optionally substituted C₁₋₆alkyl heteroaryl, optionally substituted aryl- C₁₋₆alkyl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

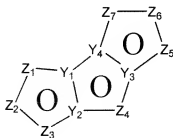
R₂ is hydrogen, optionally substituted C₁₋₆alkyl, optionally substituted C₂₋₆alkenyl, optionally substituted C₂₋₆alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C₁₋₆alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C₃₋₆alkenyloxy, optionally substituted C₃₋₆alkynyloxy, C₁₋₆alkylamino- C₁₋₆alkoxy, alkylene dioxy, optionally substituted aryloxy-C₁₋₆alkyl amine, C₁₋₆perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl- C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted

aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryoxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

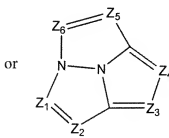
R₄ is H, optionally substituted C₁₋₆alkyl, one of R₄ is OH, C₁₋₆alkoxy, -S-C₁₋₆alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)_n where n =0 to 2 (where n=0 to 2), and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkylheteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N, O, or S.

16. (Currently Amended) The process according to claim 11, wherein one of A and B is a the fused tricyclic heteroaryl group having has the formula formula:



1-A



1-B

wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 and Z_7 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_7$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C_{1-6} alkylaryl, optionally substituted C_{1-6} alkylheteroaryl, optionally substituted aryl- C_{1-6} alkyl, optionally substituted heteroaryl- C_{1-6} alkyl, optionally substituted C_{1-6} alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6} alkylaryloxyaryl, optionally substituted C_{1-6} alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C_{1-6} alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{1-6} alkenyloxy, optionally substituted C_3-C_6 alkynyloxy, C_{1-6} alkylamino- C_{1-6} alkoxy, alkylenedioxy, optionally substituted aryloxy- C_{1-6} alkyl amine, C_{1-6} perfluoro alkyl, $S(O)_q$ -optionally substituted C_{1-6} alkyl, $S(O)_q$ -optionally substituted aryl

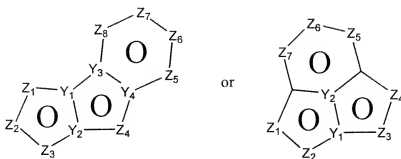
where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkyl aryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁ and Y₂, Y₃ and Y₄ may independently be C or N; with the proviso that in formula 1-A, at least one of Y₁ and Y₂ is C; and

Y₃ is C and Y₄ is C or N, or Y₄ is C and Y₃ is C or N, or Y₃ is N and Y₄ is C, or Y₃ is C and Y₄ is N

17. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



2-A

wherein Z_1 , Z_2 , Z_3 , and Z_4 are independently CR_2 , N, O, S or $N-R_1$, Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 or N; provided one of the $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C_{1-6} alkylaryl, optionally substituted C_{1-6} alkylheteroaryl, optionally substituted aryl- C_{1-6} alkyl, optionally substituted heteroaryl- C_{1-6} alkyl, optionally substituted C_{1-6} alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6} alkylaryloxyaryl, optionally substituted C_{1-6} alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C_{1-6} alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_3-C_6 alkenyloxy, optionally substituted C_{3-6} alkynyloxy, C_{1-6} alkylamino- C_{1-6} alkoxy,

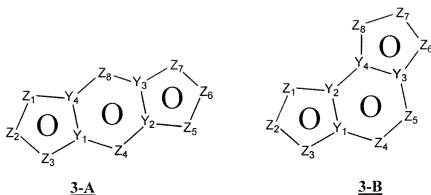
2-B

alkylenedioxy, optionally substituted aryloxy-C₁-C₆ alkyl amine, C₁₋₆ perfluoro alkyl, S(O)_q-optionally substituted C₁₋₆alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C₁₋₆alkylheteroaryl, optionally substituted heteroaryl-C₁₋₆alkyl, optionally substituted C₁₋₆alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyaryl, optionally substituted C₁₋₆alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C₁₋₆alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C₁₋₆alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C₁₋₆alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁ and Y₂ are independently C or N; Y₃ and Y₄ are C; provided that in formula 2A, at least one of Y₁ and Y₂ is C; and provided that in formula 2-B, Y₂ is C₁, and Y₁ is C or N, Y₃ is C and Y₄ is C or N, or Y₃ is N and Y₄ is C.

18. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein in formula 3-A, Z₁, Z₂, Z₃, Z₅, Z₆, and Z₇ are independently CR₂, N, O, S or N-R₁; and in formula 3-A, Z₄ and Z₈ are independently CR₂ or N; in formula 3-B, Z₁, Z₂, Z₃, Z₆, Z₇, and Z₈ are independently CR₂, N, O, S or N-R₁; and in formula 3-B, Z₄ and Z₅ are independently CR₂ or N; provided one of Z₁ - Z₈ is a carbon atom to which the remainder of the molecule is attached;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl,

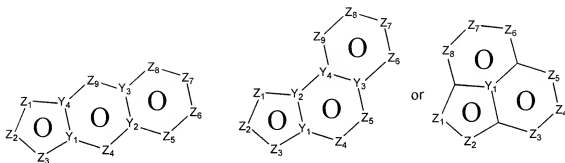
optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

19. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



4-A

4-B

4-C

wherein Z_1 , Z_2 , and Z_3 , are independently CR_2 , N, O, S or N- R_1 ; and Z_4 , Z_5 , Z_6 , Z_7 , Z_8 and Z_9 are independently CR_2 or N; provided one of the $Z_1 - Z_9$ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 4-C, Z_3 cannot be O, S or N- R_1 ;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted

aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

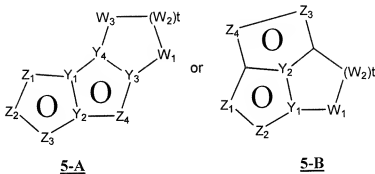
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said

ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and S(O) $_n$ where $n = 0-2$; and

Y_1 , Y_2 , Y_3 and Y_4 are C.

20. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 , N, O, S or N- R_1 provided one of $Z_1 - Z_4$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , Y_2 , Y_3 and Y_4 are independently C or N; provided that in formula 5-A, at least one of Y_1 and Y_2 is C; and provided that in formula 5-B, Y_1 and Y_2 are C;

W_1 , W_2 and W_3 are independently CR_4R_4 , S(O) $_r$ where r is 0-2 ($r \neq 0-2$), O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O) $_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl,

optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $\text{N-R}_6\text{R}_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR_6 , optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q -optionally substituted C1-C6 alkyl, S(O)_q - optionally substituted aryl where q is 0, 1 or 2, CONR_6R_7 , guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl,

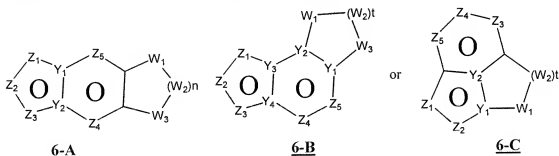
optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n=0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 1 to 3.

21. (Currently Amended) The process according to claim 11, wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂, Z₃, are independently CR₂,N, O, S or N-R₁; Z₄ and Z₅ are CR₂ or N; provided one of Z₁-Z₅ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 6-C, Z₃ cannot be O, S or N-R₁;

Y₁ is independently C or N; provided that in formula 6-A and 6-B, Y₁ is C; Y₂, Y₃ and Y₄ are C;

W_1 , W_2 and W_3 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$ ($r \neq 0-2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6

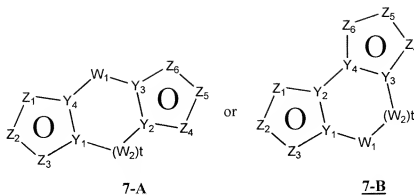
alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $S(O)_n$ where $n = 0$ to 2 (~~where $n = 0$ to 2~~), $N-R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ where $n = 0-2$; and

t = 1 to 3.

22. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is [having the formula:](#)



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 and Z_6 are independently CR_2 , N, O, S, and N- R_1 ; provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are independently C or N; with the proviso that in formula 7-A at least one of Y_1 and Y_4 is C, and Y_3 is C and Y_4 is C or N, or Y_4 is C and Y_3 is C or N, or Y_3 is N and Y_4 is C, or Y_3 is N and Y_4 is C; and with the proviso that in formula 7-B at least one of Y_1 and Y_2 is C and at least one of Y_3 and Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$ ($r = 0-2$), O, N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$,

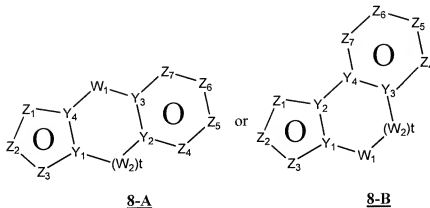
optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇ ; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n where n =0 to 2 (~~where n =0 to 2~~), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and
 t = 1 to 3.

23. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂, Z₃, are independently CR₂, N, O, S or N-R₁; Z₄, Z₅, Z₆ and Z₇ are independently CR₂, N; provided one of the Z₁ - Z₇ is a carbon atom to which the remainder of the molecule is attached;

Y₁ and Y₄ are independently C or N; Y₂ and Y₃ are C; provided that in formula 8A at least one of Y₁ and Y₄ is C; and provided that in formula 8-B Y₄ is C;

W₁ and W₂ are independently CR₄R₄, S(O)_r (r=0-2) where r=0-2, O, or N-R₁ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted

perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted

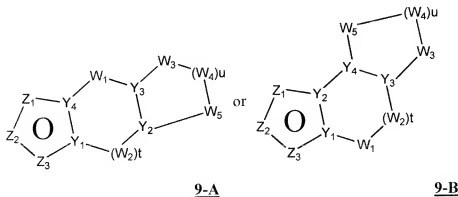
aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 0-3.

24. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂ and Z₃ are independently CR₂, N, O, S or N-R₁ provided one of Z₁ - Z₃ is a carbon atom to which the remainder of the molecule is attached;

Y₁ and Y₄ are independently C or N;

Y_2 and Y_3 are independently CH or N; with the proviso that in formula 9-A at least one of Y_1 and Y_4 is C; and with the proviso that in formula 9-B at least one of Y_1 and Y_2 is;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r=0-2$) where $r=0-2$, O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally

substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

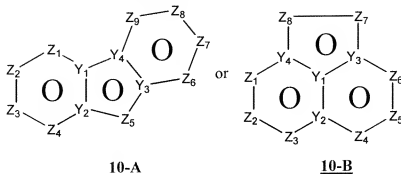
R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $S(O)_n$ where n = 0 to 2 (where n = 0 to 2), N- R_1 ;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ n = 0-2;

t = 0 to 2; and

u = 1 to 3.

25. (Currently Amended) The process according to claim 11 wherein one of A and B is a
 the tricyclic heteroaryl group is having the formula:



wherein

$Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7, Z_8$ and Z_9 are independently CR_2, N, O, S or $N-R_1$ provided one of the $Z_1 - Z_9$ is a carbon atom to which the remainder of the molecule is attached; provided that $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7, Z_8$ and Z_9 are not O, S or $N-R_1$ in formula 10-A, and provided that Z_7 and Z_8 are not O, S or $N-R_1$ in formula 10-B;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-

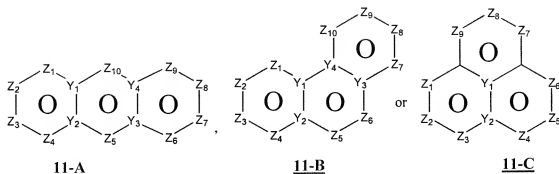
C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

26. (Currently Amended) The process according to claim 11 wherein one of A and B is the tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈, Z₉ and Z₁₀ are independently CR₂ or N provided one of Z₁-Z₁₀ is a carbon atom to which the remainder of the molecule is attached;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl,

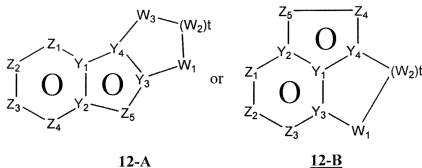
optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are C.

27. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂, and Z₃, are independently CR₂ or N; Z₄ and Z₅ are independently CR₂, N, O, S or N-R₁ provided that one of Z₁ – Z₅ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 12-A, Z₄ is not O, S or N-R₁;

Y₁ and Y₂ are C; Y₃ and Y₄ are independently C or N; provided that in formula 12-B Y₃ is C; and in formula 12-A, Y₃ is C and Y₄ is C or N, Y₄ is C and Y₃ is C or N, or Y₃ is N and Y₄ is C, or Y₃ is C and Y₄ is N;

W₁, W₂, W₃ are independently CR₄R₄ O, N-R₁, or S=(O)_r where r = 0-2 (≠0-2) with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated

heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

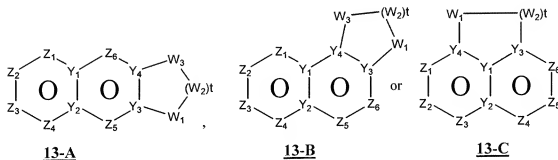
R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇ ; or R₄R₄ may together be

=O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 1-4.

28. (Currently Amended) The process according to claim 11 wherein one of A and B is a ~~the~~ tricyclic heteroaryl group is having the formula:



wherein Z₁, Z₂, Z₃, Z₄, Z₅ and Z₆ are independently CR₂ or N provided one of Z₁-Z₆ is a carbon atom to which the remainder of the molecule is attached;

Y₁, Y₂, Y₃ and Y₄ are C;

W₁, W₂ and W₃ are independently CR₄R₄, S(O)_r (r = 0-2) where r = 0-2, O, or N-R₁ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the

carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted

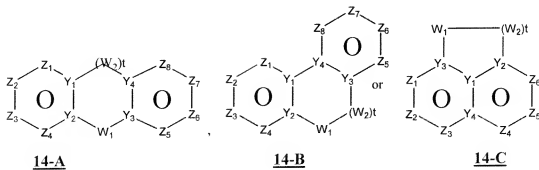
aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇ ; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n = 0 to 2), or N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

$t = 1$ to 3.

29. (Currently Amended) The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group is having the formula:



wherein $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7$ and Z_8 are independently CR_2 or N provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , Y_2 , Y_3 and Y_4 are C;

W_1 , and W_2 are independently CR_4R_4 , $S(O)_r$ where $r = 0-2$ ($r=0-2$), O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N- R_6R_7 , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6

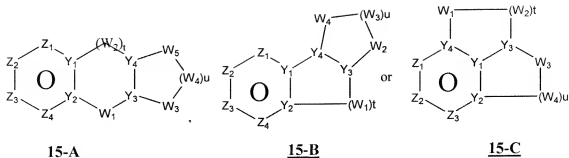
alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R₆ and R₇ are attached optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n where n = 0-2; and

t = 1 to 2.

30. (Currently Amended) The process according to claim 11 wherein one of A and B is a the tricyclic heteroaryl group is having the formula:



wherein Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 or N provided one of $Z_1 - Z_4$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_2 are C; Y_3 and Y_4 are independently C or N; provided that in formula 15-C Y_4 is C;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r=0-2$) where $r=0-2$, O, or N- R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl,

optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇ ; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)_n where n = 0 to 2 (where n = 0 to 2), or N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally

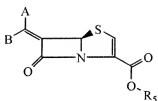
substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and S(O) $_n$ where $n = 0-2$;

$t = 1$ to 3; and

$u = 1$ to 3.

31.(Canceled)

32. (Currently Amended) A process for the preparation of compound of formula I



I

wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , a fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

R_5 is H, an in vivo hydrolyzable ester selected from the group C1 -C6 alkyl, C5 - C6 cycloalkyl, $\text{CHR}_3\text{OCOC1-C6}$ or a salt selected from the group consisting of Na, K, and Ca;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N- R_6R_7 , optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR_6 ,

optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 -C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_3 is hydrogen, C1-C6 alkyl, C3 - C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N- R_1 , O, and $S=(O)_n$ where n = 0-2;

which process comprises the following steps:

- (a) dissolving 6-aminopenicillanic acid in an organic solvent and water to form in the presence of hydrobromic acid and sodium or potassium nitrite solution to form the 6-bromo derivative 21 and converting the 6-bromopenicillanic acid 21 derivative to the p-Nitrobenzyl 6-bromopenicillanate 22 using 4-nitrobenzylbromide in the presence of base in an organic solvent;

- (b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate 22 to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23
- (c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24
- (d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24 in an organic solvent and reacting with an organic tertiary amine base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25
- (e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25 to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/organic tertiary amine base and trialkyl or triaryl phosphine at about -10° C to -30° C;
- (f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 being taken up in an organic solvent at -70°C to -90° C and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16;
- (g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16 to the desired formula I product as described in claim 9 ~~claim 4~~.

33. (Original) The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.

34. (Previously presented) The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid and sodium or potassium nitrite solution.

35. (Original) The process according to claim 34 wherein step (a) is performed at -10°C to -30°C .

36. (Original) The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.

37. (Original) The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.

38. (Original) The process according to claim 32 comprising the sequential conversion of compound 23 to 26 wherein there is no isolation of the intermediates.

39. (Original) The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about 0 to -20°C to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary amine base and a trialkyl or triaryl phosphate sequentially at about -10°C to -40°C .

40. (Original) The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.

41. (Canceled)

42. (Canceled)